

stn

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TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the EPOLINE Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/CAPLUS current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.			

Updated Search

stn

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008  
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STRUCTURE FILE UPDATES: 24 OCT 2008 HIGHEST RN 1065816-63-8  
DICTIONARY FILE UPDATES: 24 OCT 2008 HIGHEST RN 1065816-63-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Documents and Settings\brobinson1\My Documents\e-Red Folder\10524345\nji.str

L1 STRUCTURE UPLOADED

=> s l1  
SAMPLE SEARCH INITIATED 16:39:02 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 773 TO ITERATE

Updated Search

stn

100.0% PROCESSED        773 ITERATIONS                    4 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*  
                             BATCH   \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        13792 TO        17128  
PROJECTED ANSWERS:            4 TO            200

L2                    4 SEA SSS SAM L1

=> s l1 full  
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y  
FULL SEARCH INITIATED 16:39:07 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED -        15082 TO ITERATE

100.0% PROCESSED        15082 ITERATIONS                    80 ANSWERS  
SEARCH TIME: 00.00.02

L3                    80 SEA SSS FUL L1

=> file hcaplus  
COST IN U.S. DOLLARS                                    SINCE FILE        TOTAL  
   ENTRY        SESSION  
FULL ESTIMATED COST                                    184.80        185.01

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008  
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FILE COVERS 1907 - 26 Oct 2008    VOL 149 ISS 18  
FILE LAST UPDATED: 24 Oct 2008    (20081024/ED)

HCAPlus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

    This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3  
L4                    29 L3

Updated Search

stn

=> s ll and matsuoka, h?/au

8520 LL

570 LLS

9046 LL

(LL OR LLS)

2772 MATSUOKA, H?/AU

L5

1 LL AND MATSUOKA, H?/AU

=> d l4, ibib abs hitstr, 1

L4 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:860091 HCAPLUS

DOCUMENT NUMBER: 149:154921

TITLE: Antifouling coatings and their manufacture

INVENTOR(S): Messersmith, Phillip; Statz, Andrea R.; Lee, Bruce P.;  
Dalsin, Jeffrey L.; Sherman, Daniel

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 16pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20080171012	A1	20080717	US 2008-972008	20080110
WO 2008089032	A1	20080724	WO 2008-US50721	20080110
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2007-879873P P 20070111

AB A method to reduce or eliminate marine biofouling of various surfaces comprises treating surfaces with mPEG-DOPA, which is monomethoxy polyoxyethylene conjugated with L-3-(3,4-dihydroxyphenyl)alanine. The hydrophilic m-PEG-DOPA resulted antifouling ability equivalent to polydimethylsiloxane elastomer for Ulva, but better performance for diatom Navicula.

IT 833489-84-2

RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)

(methoxy polyoxyethylene conjugated with dihydroxyphenylalanine as hydrophilic antifouling agents)

RN 833489-84-2 HCAPLUS

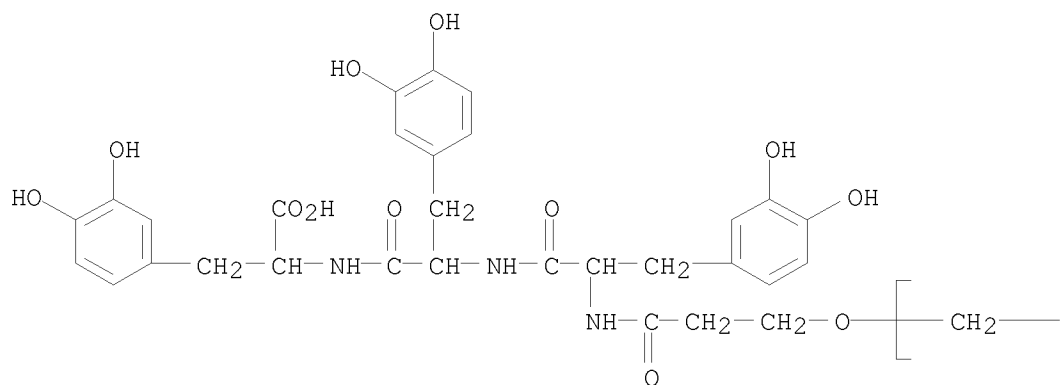
CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -methyl- $\omega$ -hydroxy-, 1N-ether with 3-hydroxy-N-(3-hydroxy-1-oxopropyl)-L-tyrosyl-3-hydroxy-L-tyrosyl-3-

Updated Search

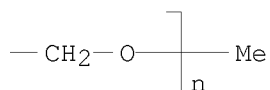
stn

hydroxy-L-tyrosine (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



=> d his

(FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008

L1 STRUCTURE UPLOADED

L2 4 S L1

L3 80 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008

L4 29 S L3

L5 1 S LL AND MATSUOKA, H?/AU

=> s l4 not l5

L6 29 L4 NOT L5

Updated Search

stn

=> s l4 not l5  
L7 29 L4 NOT L5

=> s l4 and sato, t?/au  
26063 SATO, T?/AU  
L8 0 L4 AND SATO, T?/AU

=> s l6 and takahashi, t?/au  
21947 TAKAHASHI, T?/AU  
L9 0 L6 AND TAKAHASHI, T?/AU

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	18.90	203.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.80	-0.80

FILE 'REGISTRY' ENTERED AT 16:42:14 ON 26 OCT 2008  
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STRUCTURE FILE UPDATES: 24 OCT 2008 HIGHEST RN 1065816-63-8  
DICTIONARY FILE UPDATES: 24 OCT 2008 HIGHEST RN 1065816-63-8

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Documents and Settings\brobinson1\My Documents\e-Red  
Folder\10524345\asdfaertt.str

L10 STRUCTURE UPLOADED

=> d l10  
L10 HAS NO ANSWERS  
L10 STR

Updated Search

stn

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l10

SAMPLE SEARCH INITIATED 16:44:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 20094 TO ITERATE

10.0% PROCESSED 2000 ITERATIONS 4 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 393392 TO 410368  
PROJECTED ANSWERS: 423 TO 1183

L11 4 SEA SSS SAM L10

=> s l10 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 16:44:52 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 404547 TO ITERATE

100.0% PROCESSED 404547 ITERATIONS 414 ANSWERS  
SEARCH TIME: 00.00.15

L12 414 SEA SSS FUL L10

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	180.20	384.11
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.80

FILE 'HCAPLUS' ENTERED AT 16:45:11 ON 26 OCT 2008

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Updated Search

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FILE COVERS 1907 - 26 Oct 2008 VOL 149 ISS 18  
FILE LAST UPDATED: 24 Oct 2008 (20081024/ED)

HCAPLUS now includes complete International Patent Classification (IPC)  
reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s l12

L13 8 L12

=> s l13 and matsuoka, h?/au

2772 MATSUOKA, H?/AU

L14 2 L13 AND MATSUOKA, H?/AU

=> d l14, ibib abs fhitr, 1-2

L14 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:157810 HCAPLUS

DOCUMENT NUMBER: 136:217049

TITLE: Preparation of cyclic peptide derivatives as motilin  
receptor antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

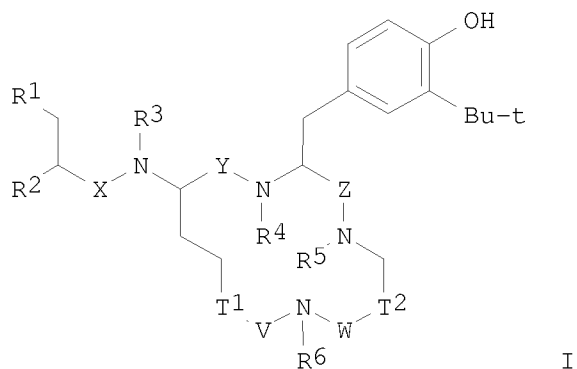
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002016404	A1	20020228	WO 2001-JP7213	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080120	A	20020304	AU 2001-80120	20010823
EP 1312612	A1	20030521	EP 2001-958426	20010823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20030191053	A1	20031009	US 2003-362574	20030224
US 7018981	B2	20060328		
PRIORITY APPLN. INFO.:			JP 2000-253950	A 20000824
			WO 2001-JP7213	W 20010823
OTHER SOURCE(S):	MARPAT 136:217049			
GI				

Updated Search



stn



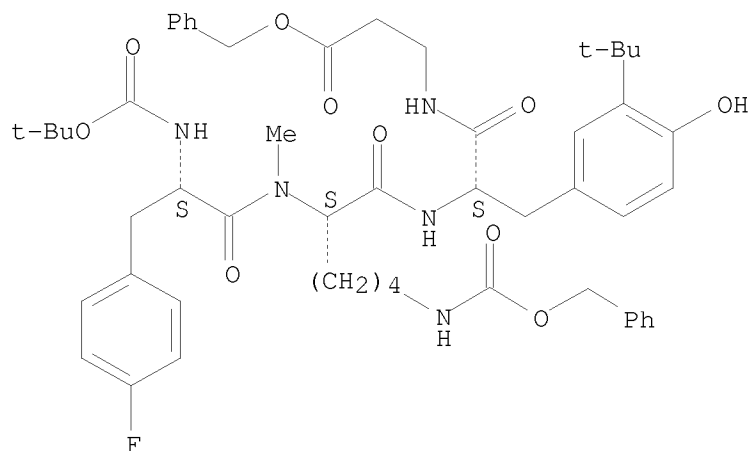
AB The title compds. I [T1 = (CH<sub>2</sub>)<sub>m</sub>; T2 = (CH<sub>2</sub>)<sub>n</sub>; R1 represents optionally substituted Ph, etc.; R2 represents amino, etc.; R3 to R6 each represents hydrogen, Me, etc.; V, W, X, Y, Z represent carbonyl or methylene; m is an integer of 0 to 2; and n is an integer of 0 to 3] are prepared In an in vitro test for motilin receptor antagonism, (2S-(2S,12S))-2-amino-N-(2-(3-tert-butyl-4-hydroxyphenylmethyl)-1,4,8-triaza-3,7,13-trioxocyclotridecan-12-yl)-3-(4-fluorophenyl)-N-methylpropionamide showed IC<sub>50</sub> of 0.52 nM.

IT 401896-13-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of cyclic peptide derivs. as motilin receptor antagonists)

RN 401896-13-7 HCAPLUS

CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

stn

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:535162 HCAPLUS

DOCUMENT NUMBER: 133:150920

TITLE: Preparation of peptides or analogs containing substituted phenethylamine moiety as motilin receptor antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu; Takahashi, Tadakatsu; Kim, Dong Ick; Jung, Kyung Yun; Park, Chan Hee

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

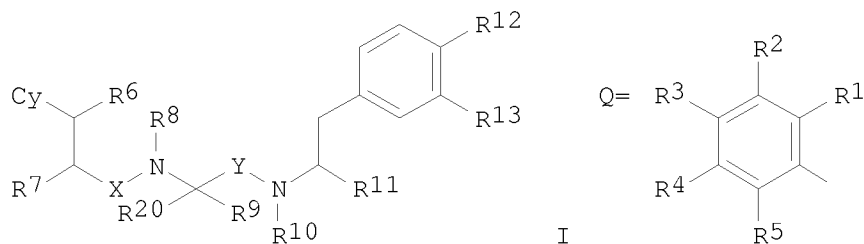
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044770	A1	20000803	WO 2000-JP444	20000128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359030	A1	20000803	CA 2000-2359030	20000128
EP 1149843	A1	20011031	EP 2000-901956	20000128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001005204	A2	20020429	HU 2001-5204	20000128
HU 2001005204	A3	20020528		
JP 3715202	B2	20051109	JP 2000-596026	20000128
NO 2001003684	A	20010928	NO 2001-3684	20010726
PRIORITY APPLN. INFO.:			JP 1999-20523	A 19990128
			JP 1999-283163	A 19991004
			WO 2000-JP444	W 20000128

OTHER SOURCE(S): MARPAT 133:150920

GI



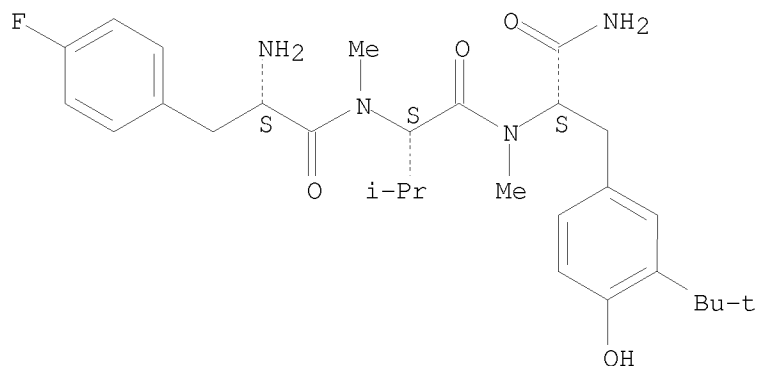
Updated Search

stn

- AB Substituted phenethylamine derivs. represented by general formula (I), hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un)substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un)substituted Ph; R20 represents hydrogen, or (un)substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un)substituted linear or branched C1-3 alkyl, (un)substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH2; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (preparation given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et3N in THF under ice-cooling for 4 h followed by treatment of the product with CF3CO2H in CH2Cl2 gave 2-((2-amino-3-(4-fluorophenyl)propanoyl)-N-methylamino)-3-methylbutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide (II). II and N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHet showed IC50 of 0.35 and 0.17 nM, resp., for inhibiting binding of 125I-motilin to motilin receptor preparation from mucous membrane of rabbit duodenum.
- IT 287205-81-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptides or analogs containing substituted phenethylamine moiety as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)
- RN 287205-81-6 HCAPLUS
- CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

stn



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008

L1 STRUCTURE UPLOADED

L2 4 S L1

L3 80 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008

L4 29 S L3

L5 1 S LL AND MATSUOKA, H?/AU

L6 29 S L4 NOT L5

L7 29 S L4 NOT L5

L8 0 S L4 AND SATO, T?/AU

L9 0 S L6 AND TAKAHASHI, T?/AU

FILE 'REGISTRY' ENTERED AT 16:42:14 ON 26 OCT 2008

L10 STRUCTURE UPLOADED

L11 4 S L10

L12 414 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 16:45:11 ON 26 OCT 2008

L13 8 S L12

L14 2 S L13 AND MATSUOKA, H?/AU

=> s l13 not l14

L15 6 L13 NOT L14

=> s l15 and sato, t?/au

26063 SATO, T?/AU

L16 2 L15 AND SATO, T?/AU

=> d l16, ibib abs hitstr, 1-2

L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:90066 HCAPLUS

Updated Search

stn

DOCUMENT NUMBER: 136:135034  
TITLE: Method for producing tripeptide derivative  
INVENTOR(S): Sato, Tsutomu; Shimizu, Hirohito  
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
SOURCE: PCT Int. Appl., 50 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002008248	A1	20020131	WO 2001-JP6295	20010719
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
JP 2005097119	A	20050414	JP 2000-219977	20000721
PRIORITY APPLN. INFO.:			JP 2000-219977	A 20000721
OTHER SOURCE(S):	CASREACT 136:135034; MARPAT 136:135034			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A method for producing L-phenylalanyl-L-valyl-L-3-tert-butyl-L-tyrosinamide compds. represented by the general formula (I; wherein R1 represents a hydrogen atom or a linear or branched aliphatic alkyl group having 1 to 4 carbon atoms; R2 represents a hydrogen atom or Me group; R3 represents a hydrogen atom or Me group; and R4 represents a halogen atom) comprises condensation of 3-tert-butyl-L-tyrosinamide derivs. (II; R1, R2 = same as above) with N-methyl-L-valine derivs. (III; P1 = amino-protecting group), N-deprotection of the resulting L-valyl-3-tert-butyl-L-tyrosinamide derivs. (IV; R1, R2, P1 = same as above), and condensation of the resulting IV (P1 = H; R1, R2 = same as above) with L-phenylalanine derivs. (V; R3, R4 = same as above; P2 = amino-protecting group) followed by N-deprotection. The method can be advantageously used for producing a novel peptide derivative in a com. process. Thus, 20.8 g MeSO<sub>3</sub>H and 20.0 g tert-Bu chloride were successively added to 10.0 g L-tyrosine Me ester hydrochloride under stirring, stirred at 50° for 5 h, treated dropwise with MeOH (20 mL)/H<sub>2</sub>O (20 mL) under ice-cooling then with a solution of 14.2 g KOH in 43 mL H<sub>2</sub>O at <10° to give 77.0% 3-tert-butyl-L-tyrosine Me ester which (8.35 g) was added to a mixture of 24.1 g 62% aqueous ethylamine and 7.52 g ethylamine hydrochloride under ice-cooling and stirred at room temperature for 5 h to give 89.8% 3-tert-butyl-L-tyrosine ethylamide (VI). To a solution of 5.50 g VI and 3.35 g 1-hydroxybenzotriazole monohydrate in 55 mL THF were

Updated Search

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successively added 4.19 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 3.04 mL Et3N and stirred at room temperature for 2.5 h to give 100% N-tert-butoxycarbonyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (10.0 g) was dissolved in 100 mL EtOAc, treated with 11.1 mL concentrated H2SO4 under ice-cooling, treated with 100 mL EtOAc, adjusted pH 8 by adding saturated aqueous NaHCO3, and stirred 15 min to give 87.9% N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide (VII). To a mixture of 5.50 g VII, 5.20 g N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanine, 4.47 g 2-chloro-1-methylpyridinium iodide, and 37 mL tert-Bu Me ether was added 5.09 mL Et3N and stirred at room temperature for 4 h to give 86.0% N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (7.50 g) was similarly deprotected as described above using concentrated H2SO4 in EtOAc to give 100% N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine.

IT 287210-10-0P 393562-03-3P

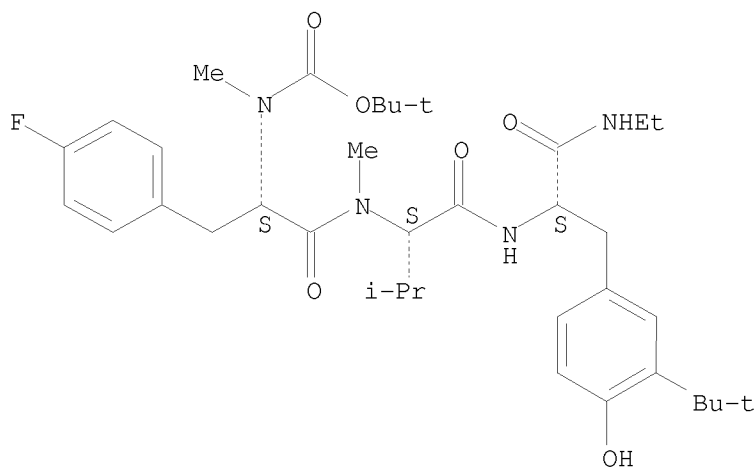
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation tripeptide derivs. by sequential coupling of N-methyl-L-valine derivs. and L-phenylalanine derivs. to 3-tert-butyl-L-tyrosinamide derivs.)

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



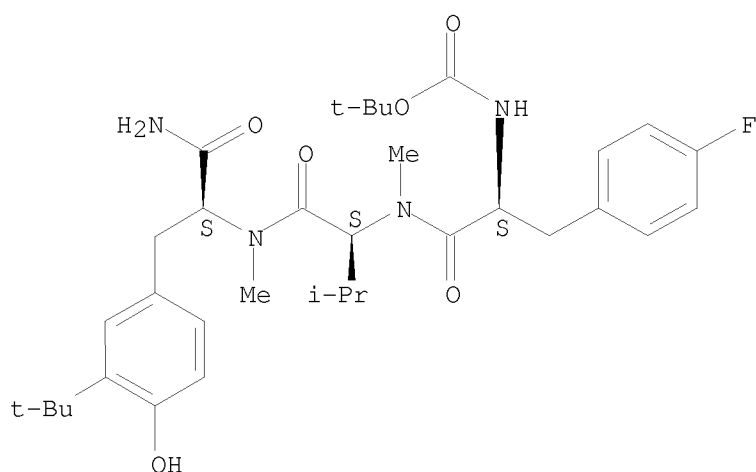
RN 393562-03-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

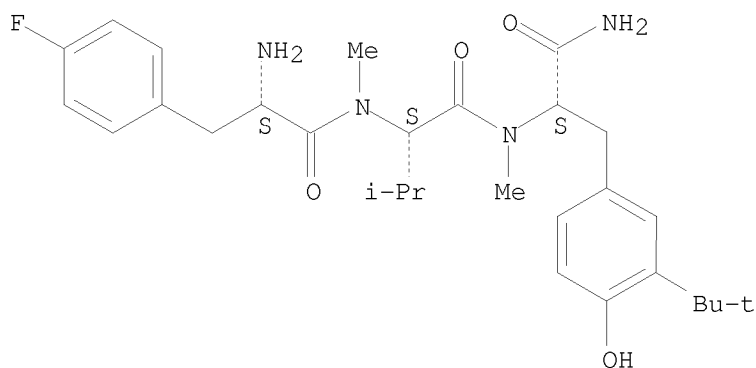
Updated Search

stn



IT 287205-81-6P 287206-61-5P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
 (Preparation)  
 (preparation tripeptide derivs. by sequential coupling of N-methyl-L-valine  
 derivs. and L-phenylalanine derivs. to 3-tert-butyl-L-tyrosinamide  
 derivs.)  
 RN 287205-81-6 HCAPLUS  
 CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-  
 dimethylethyl)-N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

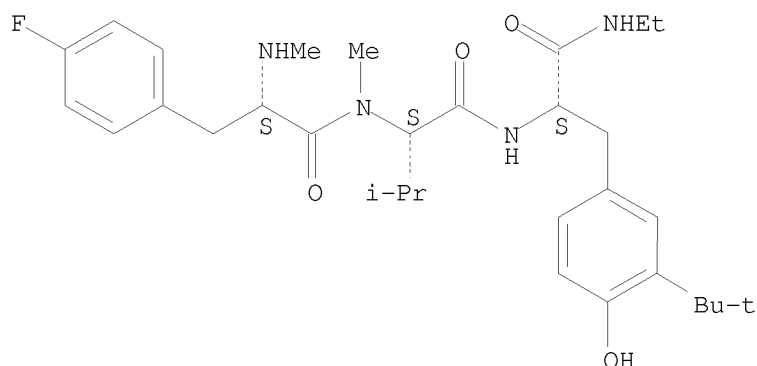


RN 287206-61-5 HCAPLUS  
 CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-  
 dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

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REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:139868 HCAPLUS

DOCUMENT NUMBER: 130:196958

TITLE: Preparation of 3-tert-butyl-L-tyrosinamide-containing peptides and related compounds exhibiting a motilin receptor antagonism

INVENTOR(S): Kotake, Ken-ichiro; Kozono, Toshiro; Sato, Tsutomu; Takanashi, Hisanori

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909053	A1	19990225	WO 1998-JP3627	19980814
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 460478	B	20011021	TW 1998-87113211	19980811
CA 2301687	A1	19990225	CA 1998-2301687	19980814
AU 9886490	A	19990308	AU 1998-86490	19980814
AU 741216	B2	20011129		
JP 2000044595	A	20000215	JP 1998-229586	19980814
JP 3583928	B2	20041104		
EP 1006122	A1	20000607	EP 1998-937826	19980814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6255285	B1	20010703	US 2000-485620	20000215
PRIORITY APPLN. INFO.:			JP 1997-255879	A 19970815

Updated Search

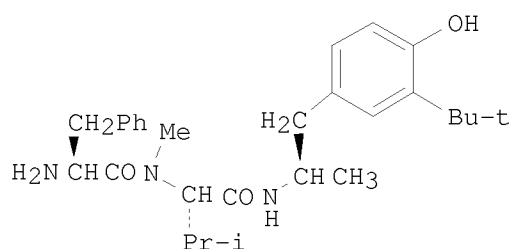
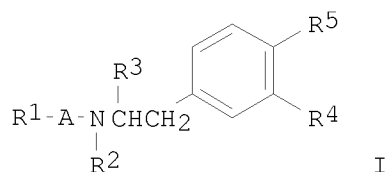


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JP 1998-186802  
WO 1998-JP3627

A 19980528  
W 19980814

OTHER SOURCE(S): MARPAT 130:196958  
GI



AB Phenethylamine derivs. represented by general formula [I; wherein A represents an amino acid or  $\alpha$ -substituted amino acid residue; R1 represents R6CO, (un)substituted C2-7 linear or branched alkyl, C3-8 alkenyl, or C3-8 alkynyl; R2 represents hydrogen, C1-3 linear or branched alkyl; R3 represents COR7, (un)substituted C1-5 linear or branched alkyl, C2-5 alkenyl, or C2-5 alkynyl; R4 represents H, C1-6 linear or branched alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R5 represents hydroxy or C1-4 n-alkoxy; R6 represents (un)substituted C1-6 linear or branched alkyl, C2-7 alkenyl, or C2-7 alkynyl, optionally benzene- or heterocyclic ring-condensed C3-7 cycloalkyl, (un)substituted C6-12 aromatic ring, (un)substituted C3-12 (un)saturated heterocyclic ring, (un)substituted NH2, (un)substituted linear or branched C1-5 alkoxy, C2-6 alkenyloxy, C2-6 alkynyloxy, etc.; and R7 represents H, (un)substituted C1-5 linear or branched alkyl, C3-7 cycloalkyl, (un)substituted NH2, OH, linear or branched alkyl C1-6 alkoxy, or C3-7 cycloalkyloxy] are prepared Also claimed are a motilin receptor antagonist, an inhibitor of digestive tract motility, and a remedy for high blood motilin. They are also useful for the treatment of irritable bowel syndrome. Thus, N $\alpha$ -methyl-N-[2-(3-tert-butyl-4-hydroxyphenyl)-1-methylethyl]-L-valinamide was condensed with Boc-Phe-OH using HOBt and DIC in DMF at room temperature for 2.5 days followed by deprotection with CF3CO2H in CH2Cl2 to give the title compound (II). II in vitro showed IC50 of 1.9 nM for inhibiting the binding of [<sup>125</sup>I]motilin motilin receptor preparation from rabbit ileum mucous membrane.

Updated Search

stn

IT 220806-45-1P 220806-47-3P 220806-49-5P  
220806-51-9P 220806-59-7P 220806-61-1P  
220806-63-3P 220806-71-3P 220806-75-7P  
220806-77-9P 220806-79-1P 220806-81-5P  
220806-83-7P 220806-85-9P 220806-87-1P  
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220806-95-1P 220806-97-3P 220806-99-5P  
220807-01-2P 220807-03-4P 220807-05-6P  
220807-07-8P 220807-09-0P 220807-11-4P  
220807-19-2P 220808-16-2P 220808-17-3P  
220808-18-4P 220808-19-5P 220808-20-8P  
220808-27-5P 220808-28-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as motilin receptor antagonists, inhibitors of digestive tract motility, and remedy for high blood motilin)

RN 220806-45-1 HCAPLUS

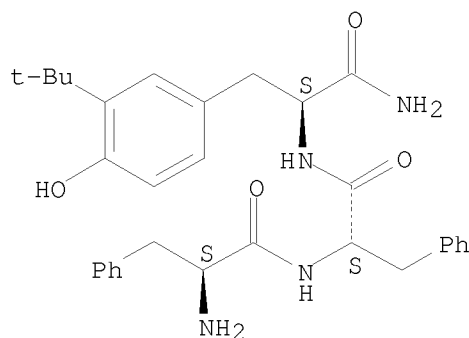
CN L-Tyrosinamide, L-phenylalanyl-L-phenylalanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-44-0

CMF C31 H38 N4 O4

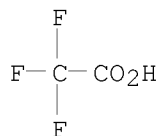
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



Updated Search

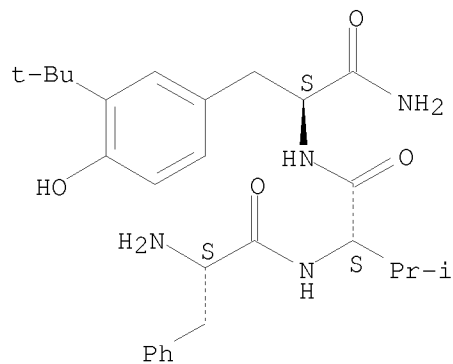
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RN 220806-47-3 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

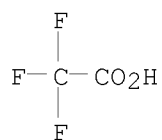
CRN 220806-46-2  
CMF C27 H38 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-49-5 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-alanyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

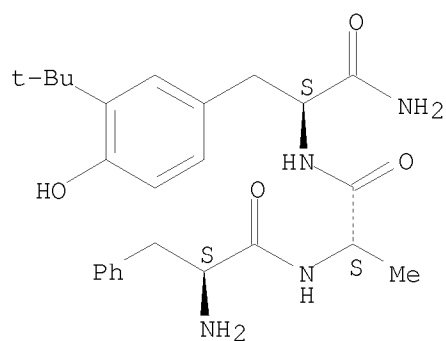
CM 1

CRN 220806-48-4  
CMF C25 H34 N4 O4

Absolute stereochemistry.

Updated Search

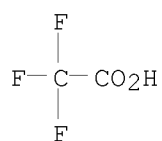
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-51-9 HCAPLUS

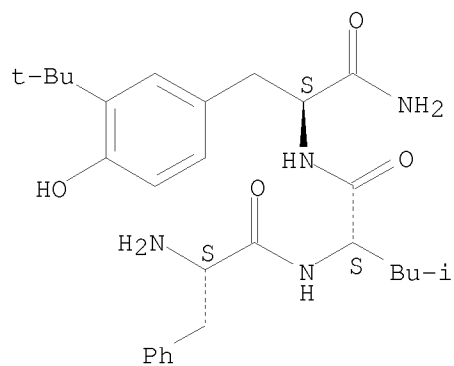
CN L-Tyrosinamide, L-phenylalanyl-L-leucyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-50-8

CMF C28 H40 N4 O4

Absolute stereochemistry.

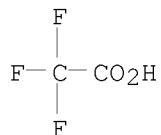


CM 2

Updated Search

stn

CRN 76-05-1  
CMF C2 H F3 O2

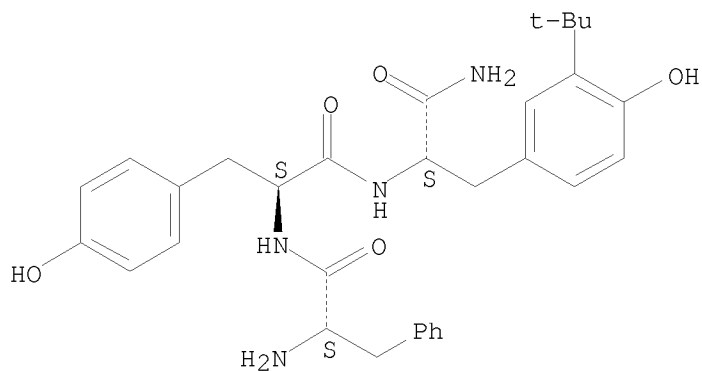


RN 220806-59-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-tyrosyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

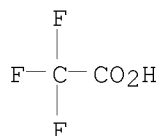
CRN 220806-58-6  
CMF C31 H38 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-61-1 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-( $\alpha$ S)- $\alpha$ -aminobenzenebutanoyl-3-  
(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Updated Search

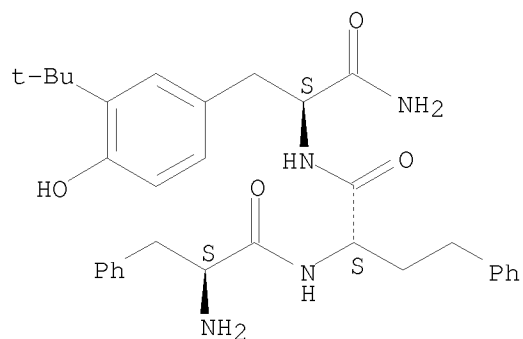
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CM 1

CRN 220806-60-0

CMF C32 H40 N4 O4

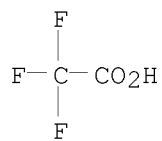
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-63-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

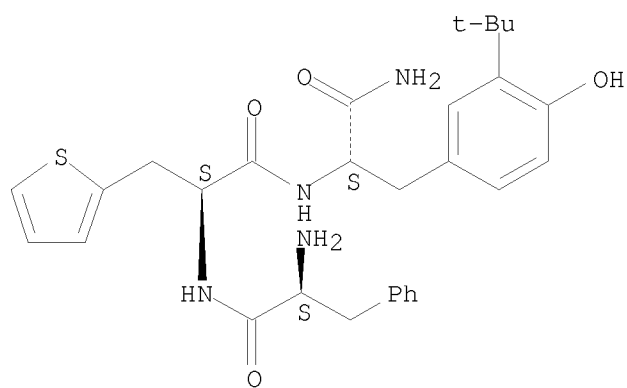
CRN 220806-62-2

CMF C29 H36 N4 O4 S

Absolute stereochemistry.

Updated Search

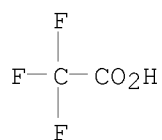
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-71-3 HCAPLUS

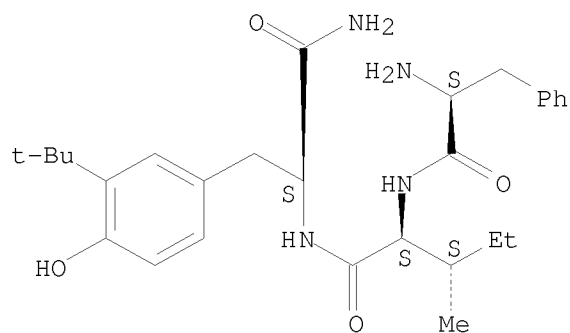
CN L-Tyrosinamide, L-phenylalanyl-L-isoleucyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-70-2

CMF C28 H40 N4 O4

Absolute stereochemistry.

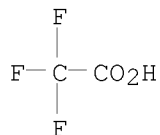


CM 2

Updated Search

stn

CRN 76-05-1  
CMF C2 H F3 O2

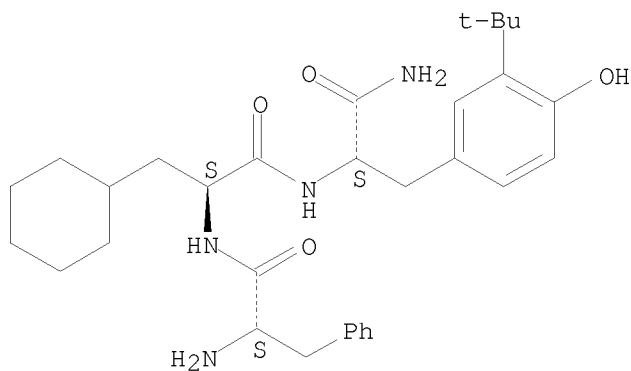


RN 220806-75-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-3-cyclohexyl-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

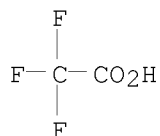
CRN 220806-74-6  
CMF C31 H44 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-77-9 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-3-methyl-L-valyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Updated Search



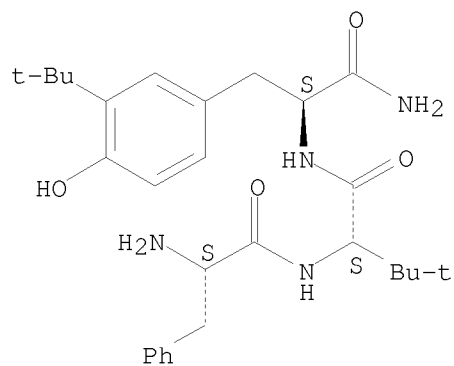
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CM 1

CRN 220806-76-8

CMF C28 H40 N4 O4

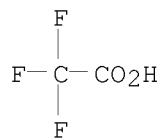
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-79-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L- $\alpha$ -aspartyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

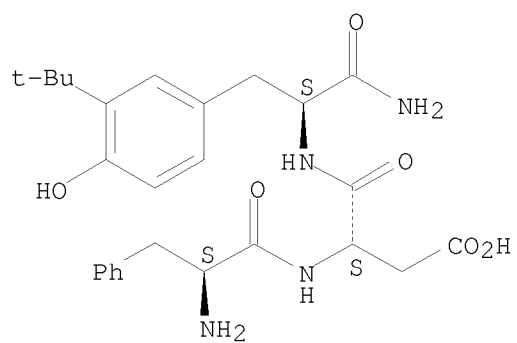
CRN 220806-78-0

CMF C26 H34 N4 O6

Absolute stereochemistry.

Updated Search

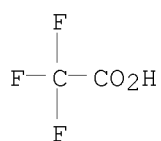
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-81-5 HCAPLUS

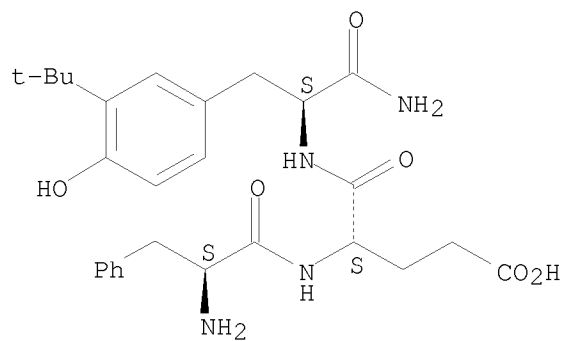
CN L-Tyrosinamide, L-phenylalanyl-L-α-glutamyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-80-4

CMF C27 H36 N4 O6

Absolute stereochemistry.

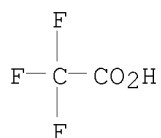


CM 2

Updated Search

stn

CRN 76-05-1  
CMF C2 H F3 O2

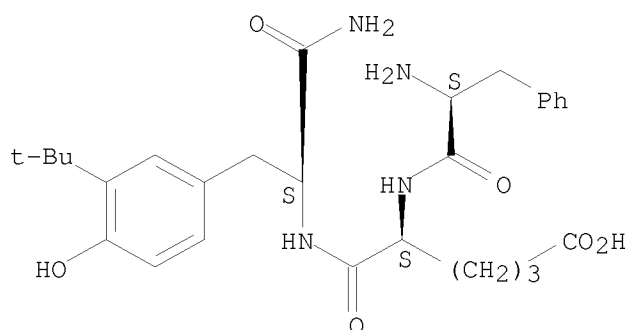


RN 220806-83-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-5-carboxy-L-norvalyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

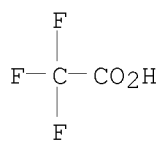
CRN 220806-82-6  
CMF C28 H38 N4 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-85-9 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-asparaginyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

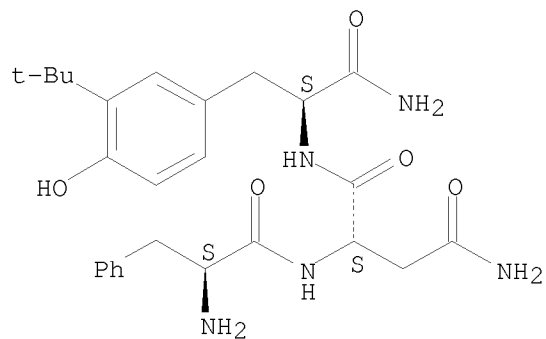
CM 1

Updated Search

stn

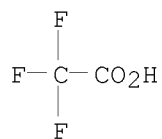
CRN 220806-84-8  
CMF C26 H35 N5 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

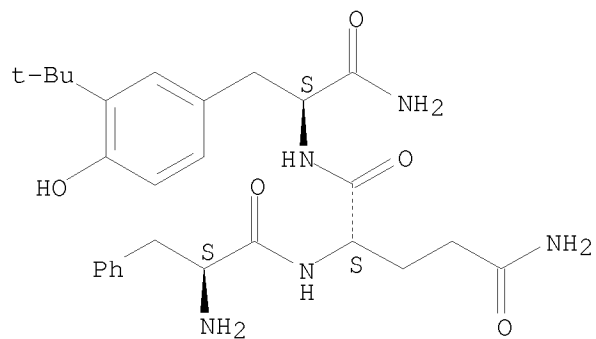


RN 220806-87-1 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-glutaminyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-86-0  
CMF C27 H37 N5 O5

Absolute stereochemistry.

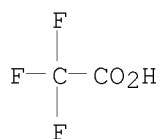


Updated Search

stn

CM 2

CRN 76-05-1  
CMF C2 H F3 O2

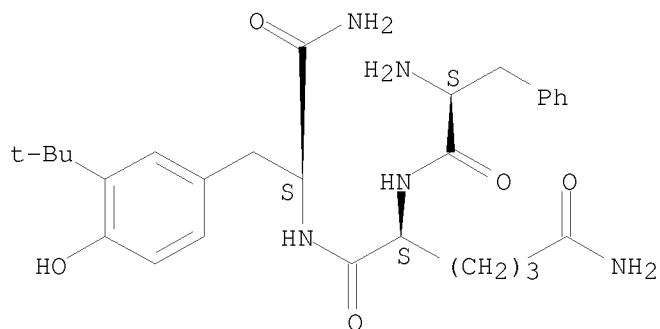


RN 220806-89-3 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-6-oxo-L-lysyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

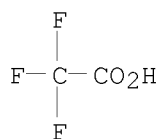
CRN 220806-88-2  
CMF C28 H39 N5 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-91-7 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-(2S)-2,4-diaminobutanoyl-3-(1,1-  
dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

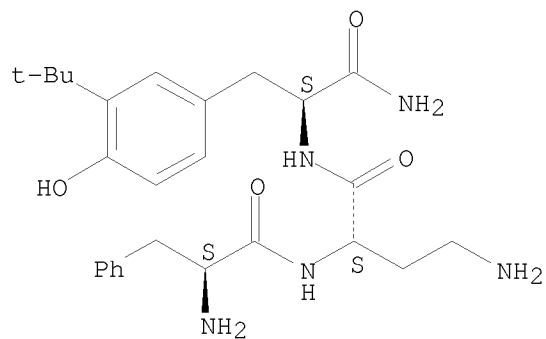
Updated Search

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CM 1

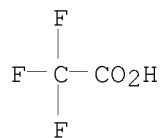
CRN 220806-90-6  
CMF C26 H37 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-93-9 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-ornithyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

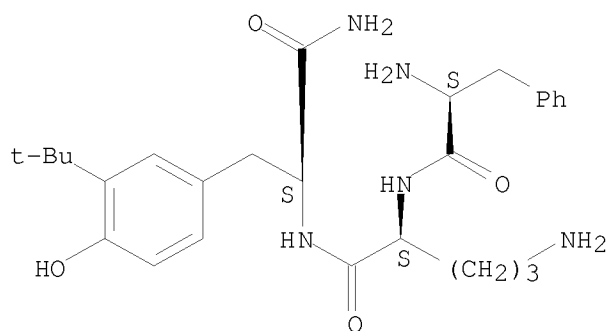
CM 1

CRN 220806-92-8  
CMF C27 H39 N5 O4

Absolute stereochemistry.

Updated Search

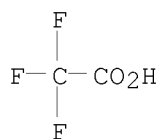
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220806-95-1 HCAPLUS

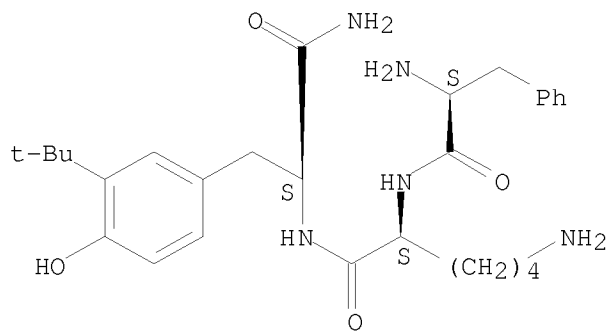
CN L-Tyrosinamide, L-phenylalanyl-L-lysyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-94-0

CMF C28 H41 N5 O4

Absolute stereochemistry.

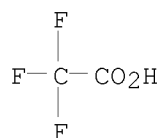


CM 2

Updated Search

stn

CRN 76-05-1  
CMF C2 H F3 O2

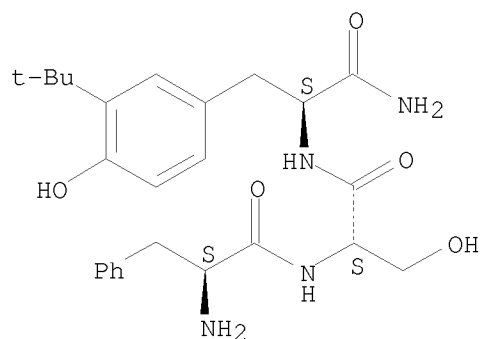


RN 220806-97-3 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-seryl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

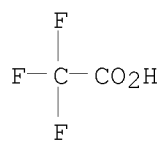
CRN 220806-96-2  
CMF C25 H34 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220806-99-5 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-homoseryl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

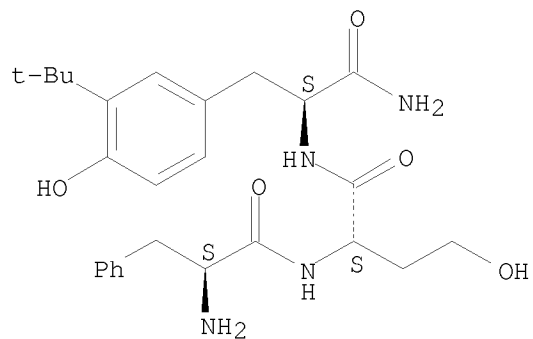
Updated Search



stn

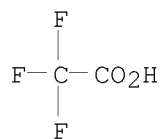
CRN 220806-98-4  
CMF C26 H36 N4 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

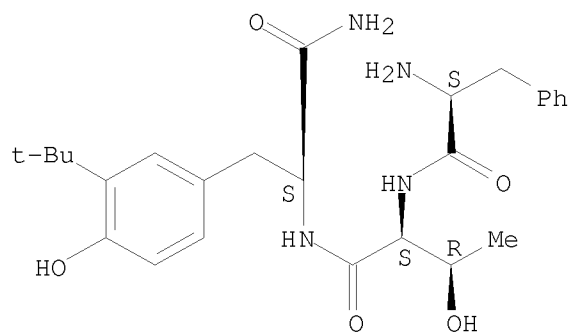


RN 220807-01-2 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-threonyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-00-1  
CMF C26 H36 N4 O5

Absolute stereochemistry.

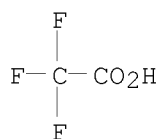


Updated Search

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CM 2

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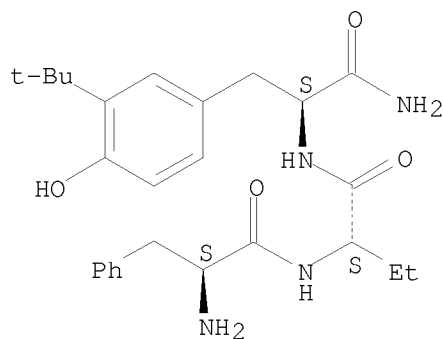


RN 220807-03-4 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-(2S)-2-aminobutanoyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

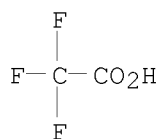
CRN 220807-02-3  
CMF C26 H36 N4 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 220807-05-6 HCAPLUS  
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Updated Search

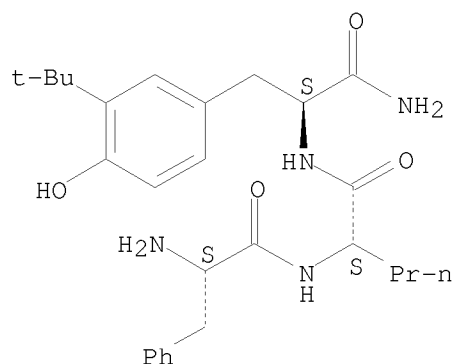
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CM 1

CRN 220807-04-5

CMF C27 H38 N4 O4

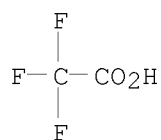
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-07-8 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-methionyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

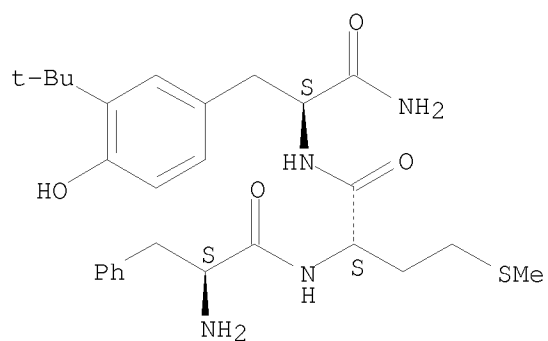
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CMF C27 H38 N4 O4 S

Absolute stereochemistry.

Updated Search

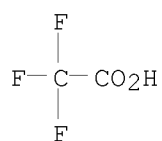
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 220807-09-0 HCAPLUS

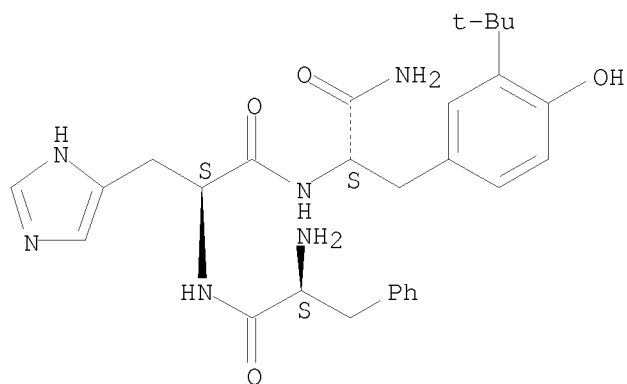
CN L-Tyrosinamide, L-phenylalanyl-L-histidyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-08-9

CMF C28 H36 N6 O4

Absolute stereochemistry.

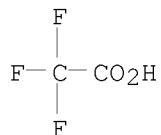


CM 2

Updated Search

stn

CRN 76-05-1  
CMF C2 H F3 O2

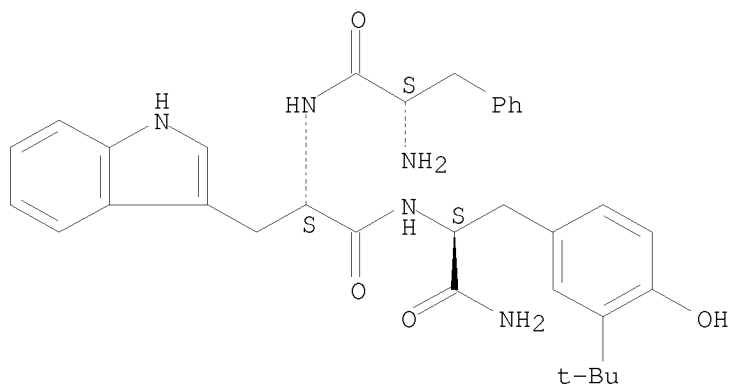


RN 220807-11-4 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-L-tryptophyl-3-(1,1-dimethylethyl)-,  
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

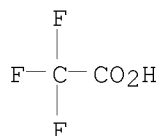
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CMF C33 H39 N5 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

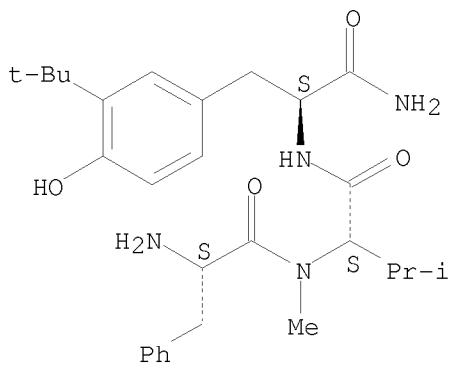


RN 220807-19-2 HCAPLUS  
CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-  
(9CI) (CA INDEX NAME)

Updated Search

stn

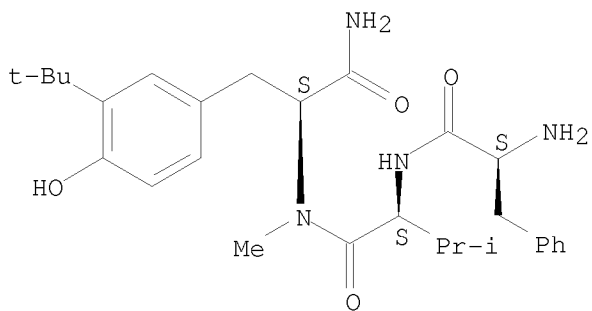
Absolute stereochemistry.



RN 220808-16-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

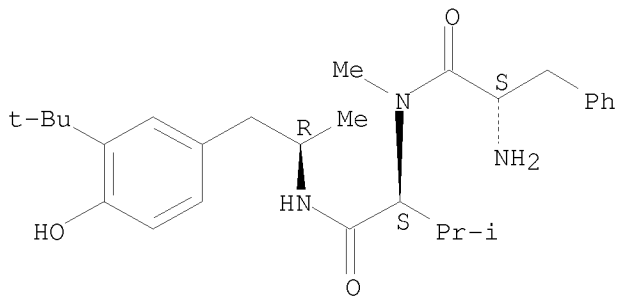
Absolute stereochemistry.



RN 220808-17-3 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



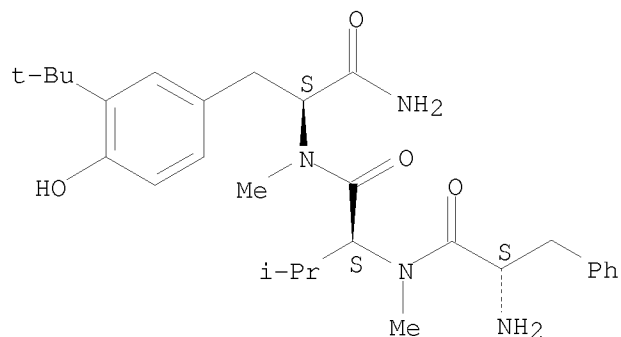
RN 220808-18-4 HCAPLUS

Updated Search

stn

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-  
N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

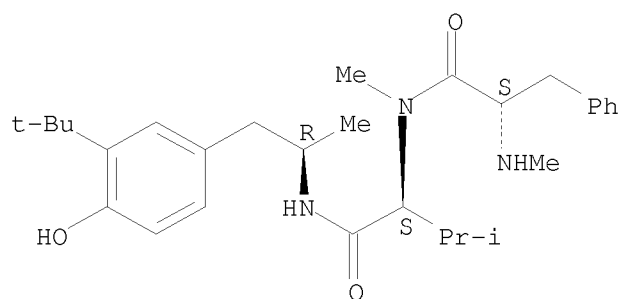
Absolute stereochemistry.



RN 220808-19-5 HCAPLUS

CN L-Valinamide, N-methyl-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-  
hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

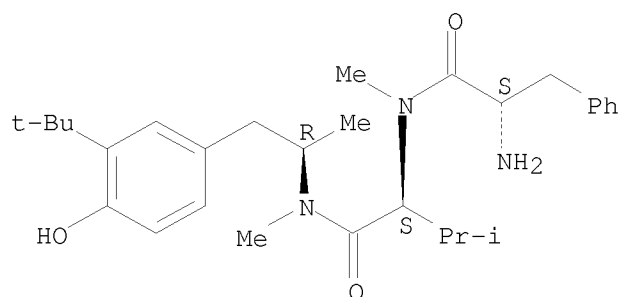
Absolute stereochemistry.



RN 220808-20-8 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-  
hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

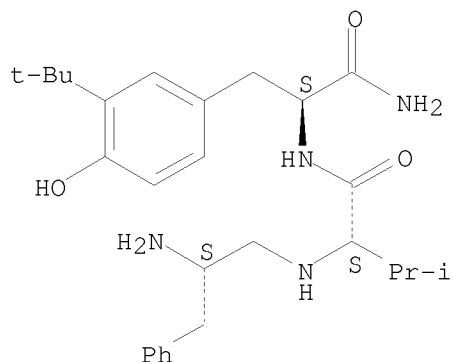


Updated Search

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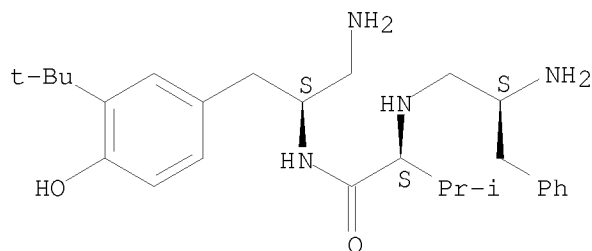
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CN L-Tyrosinamide, N-[(2S)-2-amino-3-phenylpropyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220808-28-6 HCAPLUS  
CN Butanamide, N-[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-2-[[ (2S)-2-amino-3-phenylpropyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



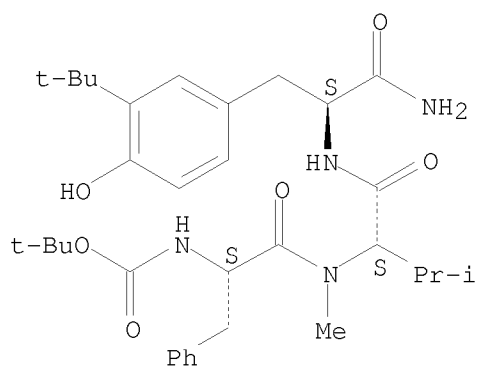
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220808-80-0P 220808-85-5P 220808-89-9P  
220808-90-2P 220808-96-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as  
motilin receptor antagonists, inhibitors of digestive tract motility,  
and remedy for high blood motilin)  
RN 220808-36-6 HCAPLUS  
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-  
valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



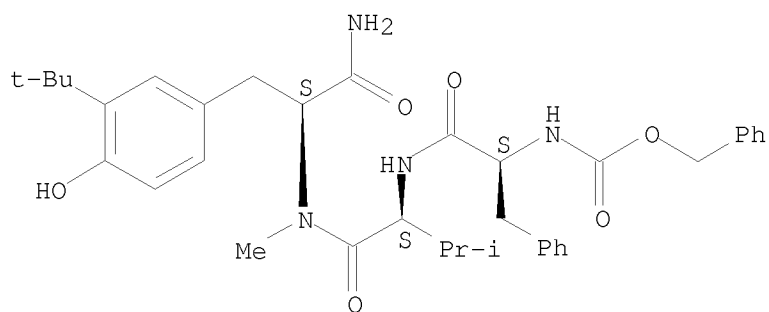
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RN 220808-44-6 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)- $\alpha$ -methyl- (9CI) (CA INDEX NAME)

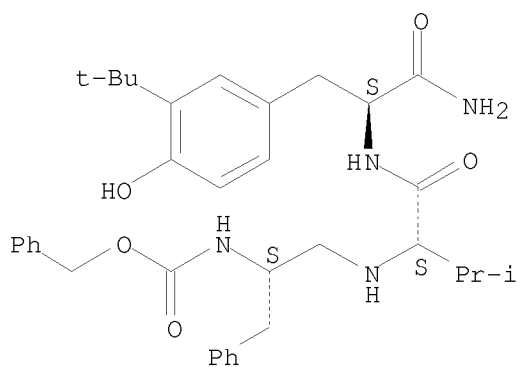
Absolute stereochemistry.



RN 220808-74-2 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-phenyl-2-[[ (phenylmethoxy)carbonyl]amino]propyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



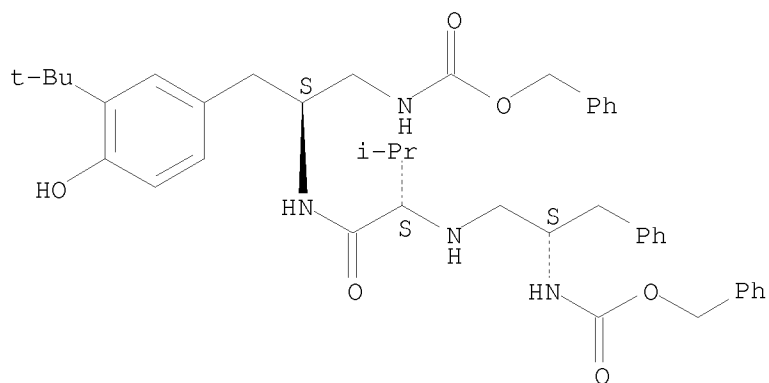
RN 220808-80-0 HCAPLUS

Updated Search

stn

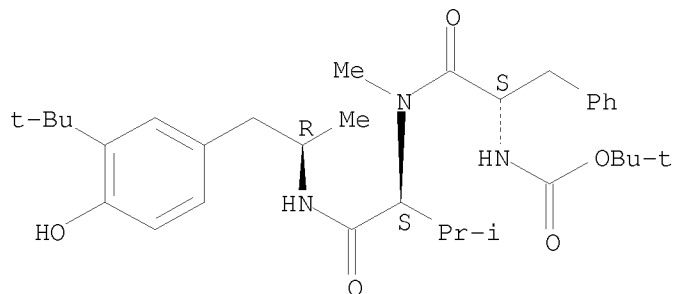
CN 2,5,8,11-Tetraazadodecanedioic acid,  
9-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-6-(1-methylethyl)-7-oxo-  
3-(phenylmethyl)-, 1,12-bis(phenylmethyl) ester, (3S,6S,9S)- (CA INDEX  
NAME)

Absolute stereochemistry.



RN 220808-85-5 HCAPLUS  
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.

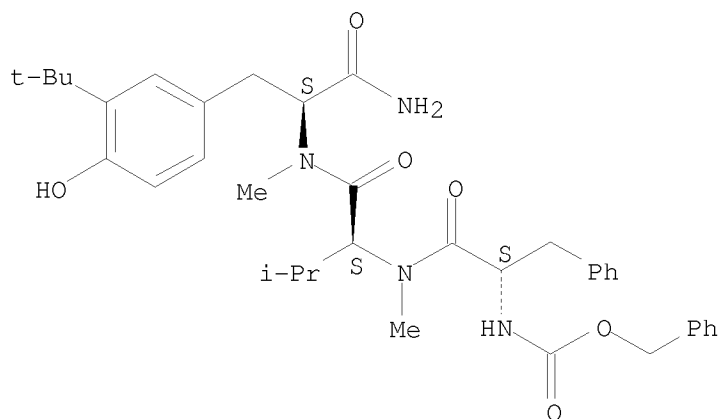


RN 220808-89-9 HCAPLUS  
CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N $\alpha$ -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

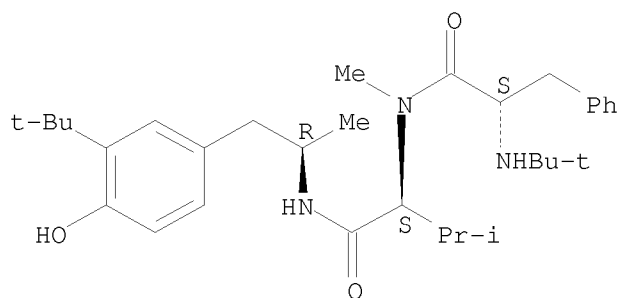
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RN 220808-90-2 HCAPLUS

CN L-Valinamide, N-(1,1-dimethylethyl)-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

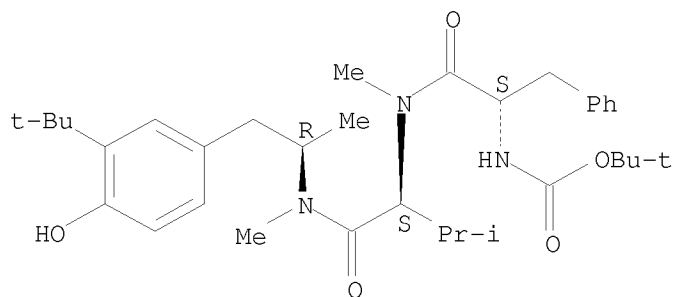
Absolute stereochemistry.



RN 220808-96-8 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

stn

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008

L1 STRUCTURE UPLOADED

L2 4 S L1

L3 80 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008

L4 29 S L3

L5 1 S LL AND MATSUOKA, H?/AU

L6 29 S L4 NOT L5

L7 29 S L4 NOT L5

L8 0 S L4 AND SATO, T?/AU

L9 0 S L6 AND TAKAHASHI, T?/AU

FILE 'REGISTRY' ENTERED AT 16:42:14 ON 26 OCT 2008

L10 STRUCTURE UPLOADED

L11 4 S L10

L12 414 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 16:45:11 ON 26 OCT 2008

L13 8 S L12

L14 2 S L13 AND MATSUOKA, H?/AU

L15 6 S L13 NOT L14

L16 2 S L15 AND SATO, T?/AU

=> s l15 not l16

L17 4 L15 NOT L16

=> s l17 and takahashi, t?/au

21947 TAKAHASHI, T?/AU

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=> s l17 and kim, d?/au

31091 KIM, D?/AU

L19 1 L17 AND KIM, D?/AU

=> d l19, ibib abs hitstr, 1

L19 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:637704 HCAPLUS

DOCUMENT NUMBER: 137:185838

TITLE: Process for preparation of peptide derivatives

INVENTOR(S): Kim, Dong Ick; Jeon, Gee Ho; Kim, Sung Jin

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

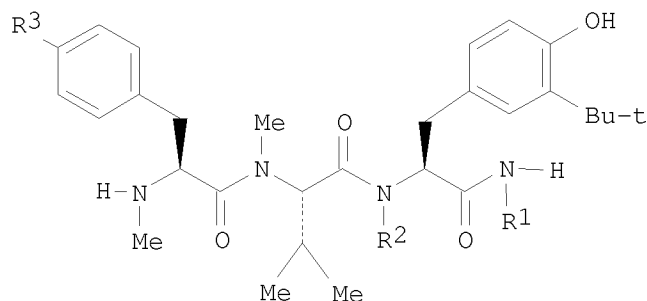
FAMILY ACC. NUM. COUNT: 1

Updated Search

stn

# PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002230216	A1	20020828	AU 2002-230216	20020212
PRIORITY APPLN. INFO.:			KR 2001-6673	A 20010212
			WO 2002-JP1139	W 20020212
OTHER SOURCE(S):			CASREACT 137:185838; MARPAT 137:185838	
GI				



I

AB The title compds. I [R1 is hydrogen or linear or branched C1-4 alkyl; R2 is hydrogen or linear or branched C1-4 alkyl; and R3 is halogeno] are prepared in a multistep process. I are motilin receptor antagonists and are useful as drugs for gastric or intestinal diseases (no data). Thus, amidation of N-(tert-butoxycarbonyl)-L-(4-fluorophenyl)alanine with L-valine Me ester hydrochloride, followed by methylation with iodomethane, saponification, reaction with 3-tert-butyl-L-tyrosine Et amide, and deprotection, gave N-methyl-L-4-fluorophenylalanyl-N-methyl-L-valine-3-tert-butyl-L-tyrosine Et amide.

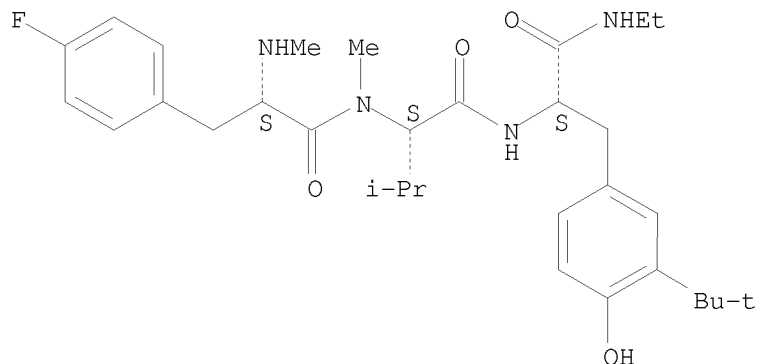
IT 287206-61-5P  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (process for preparation of peptide derivs.)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

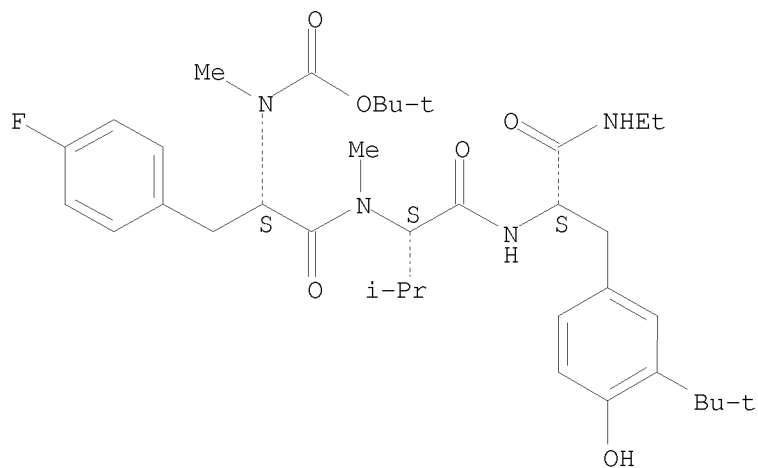
stn

Absolute stereochemistry.



IT 287210-10-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(process for preparation of peptide derivs.)  
RN 287210-10-0 HCAPLUS  
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-  
phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008

L1 STRUCTURE UPLOADED

Updated Search

stn

L2 4 S L1  
L3 80 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008

L4 29 S L3  
L5 1 S LL AND MATSUOKA, H?/AU  
L6 29 S L4 NOT L5  
L7 29 S L4 NOT L5  
L8 0 S L4 AND SATO, T?/AU  
L9 0 S L6 AND TAKAHASHI, T?/AU

FILE 'REGISTRY' ENTERED AT 16:42:14 ON 26 OCT 2008

L10 STRUCTURE UPLOADED  
L11 4 S L10  
L12 414 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 16:45:11 ON 26 OCT 2008

L13 8 S L12  
L14 2 S L13 AND MATSUOKA, H?/AU  
L15 6 S L13 NOT L14  
L16 2 S L15 AND SATO, T?/AU  
L17 4 S L15 NOT L16  
L18 0 S L17 AND TAKAHASHI, T?/AU  
L19 1 S L17 AND KIM, D?/AU

=> s l17 not l19

L20 3 L17 NOT L19

=> s l20 and jung, k?/au

3311 JUNG, K?/AU

L21 0 L20 AND JUNG, K?/AU

=> s l20 and park, c?/au

12728 PARK, C?/AU

L22 0 L20 AND PARK, C?/AU

=> d l20, ibib abs fhitstr, 1-3

L20 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:172923 HCAPLUS

DOCUMENT NUMBER: 148:299702

TITLE: Oral administration of MA-2029, a novel selective and competitive motilin receptor antagonist, inhibits motilin-induced intestinal contractions and visceral pain in rabbits

AUTHOR(S): Sudo, Hirokazu; Yoshida, Shoshin; Ozaki, Ken-ichi; Muramatsu, Hiroyasu; Onoma, Mitsu; Yogo, Kenji; Kamei, Kenshi; Cynshi, Osamu; Kuromaru, Osamu; Peeters, Theo L.; Takanashi, Hisanori

CORPORATE SOURCE: Fuji-Gotemba Research Laboratories, Chugai Pharmaceutical Co., Ltd., Shizuoka, 412-8513, Japan

SOURCE: European Journal of Pharmacology (2008), 581(3), 296-305

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

Updated Search

stn

LANGUAGE: English

AB The pharmacol. properties of MA-2029, a novel motilin receptor antagonist, were investigated. In vitro, MA-2029 (1 to 30 nM) competitively inhibited motilin-induced contractions in isolated rabbit duodenal longitudinal muscle strips, with a pA<sub>2</sub> value of  $9.17 \pm 0.01$  (n = 5). However, contractile responses to acetylcholine and substance P were unaffected even at 1  $\mu$ M of MA-2029. MA-2029 concentration-dependently inhibited the binding of [<sup>125</sup>I]motilin to motilin receptors in a homogenate of rabbit colon smooth muscle tissue and membranes of HEK 293 cells expressing human motilin receptors. The pK<sub>i</sub> of MA-2029 was  $8.58 \pm 0.04$  in the rabbit colon homogenate (n = 4) and 8.39 in the HEK 293 cells (mean of duplicate expts.). In vivo, orally-administered MA-2029 (3 to 30 mg/kg) dose-dependently inhibited colonic contractions induced by motilin (3  $\mu$ g/kg, i.v.) in conscious rabbits. Inhibition was caused by all doses at 30 min after administration and by 10 mg/kg or more at 4 h after administration. The plasma concentration of MA-2029 correlated with its inhibitory effect. Furthermore, the oral administration of MA-2029 (0.3 to 3 mg/kg) also inhibited abdominal muscle contractions (an index of the visceral pain) induced by i.v. infusion of motilin (3  $\mu$ g/kg/h) during colorectal distension in conscious rabbits. These results indicate that MA-2029 is an orally active, selective and competitive motilin receptor antagonist. It is suggested that this compound may be useful for gastrointestinal disorders associated with disturbed gastrointestinal motility such as irritable bowel syndrome.

IT 287206-61-5, MA-2029

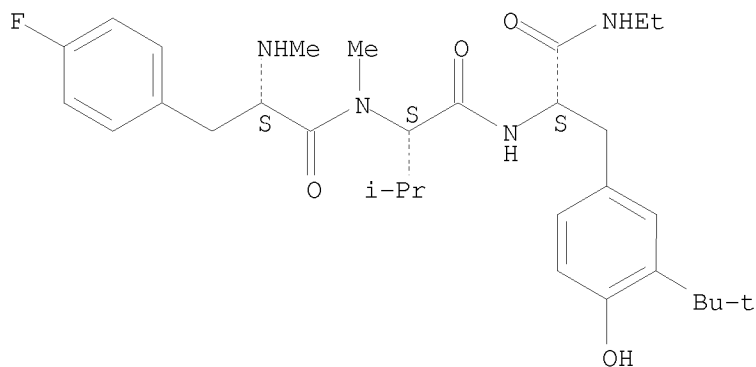
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oral motilin receptor antagonist MA-2029 inhibits intestinal contractions and visceral pain)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:106928 HCAPLUS

DOCUMENT NUMBER: 148:221501

Updated Search

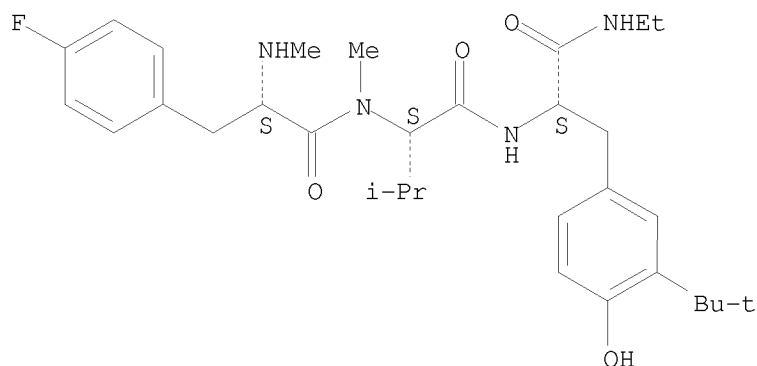


stn

TITLE: Characterization of MA-2029 hydrochloride solvates, desolvates, and a hydrate  
AUTHOR(S): Takata, Noriyuki; Hayashi, Yoshiki; Machida, Minoru; Terada, Katsuhide  
CORPORATE SOURCE: Department of Pharmaceutics, Faculty of Pharmaceutical Science, Toho University, 2-2-1 Miyama, Funabashi, Chiba, 274-8501, Japan  
SOURCE: Asian Journal of Pharmaceutical Sciences (Hong Kong, China) (2006), 1(3-4), 146-158  
CODEN: AJPSGU; ISSN: 1818-0876  
PUBLISHER: Hong Kong Asiamed Publish House  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Purpose: To characterize the desolvation and hydration behavior of MA-2029 hydrochloride solvates, desolvates, and a hydrate. Methods: MA-2029 hydrochloride solvates, desolvates, and a hydrate were characterized by powder X-ray diffraction, crystal structure determination, moisture sorption anal., and differential scanning calorimetry. Results: The solvates crystallized from acetonitrile/water and Et acetate saturated with water were identified as acetonitrile solvated hemihydrate and Et acetate solvated hemihydrate, resp. Both solvates possessed essentially similar lattice parameters and similar MA-2029 conformations despite having different solvents, and had tunnel structures filled with the solvent mols., which were maintained after desolvation. After desolvation, the vacant tunnels caused nonstoichiometric and extreme hygroscopicity at low relative humidity and they were maintained upon hydration. On heating the hydrate, disruption of the crystal lattice after dehydration was observed prior to melting and this was reflected in the enthalpies of fusion of the dehydrate that fell as the heating rate was reduced. Conclusions: MA-2029 hydrochloride solvates were classified as clathrates which possess tunnel structures. The tunnel structures caused their several specific physicochem. features in the desolvation and hydration processes: isomorphism between both solvates despite having different solvents, hydration into vacant tunnels created after desolvation, and disruption of crystal lattices of the dehydrate prior to melting during the heating process.  
IT 922190-03-2, MA 2029 hydrochloride  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MA-2029 hydrochloride solvates like acetonitrile solvated hemihydrate and Et acetate solvated hemihydrate showed similar lattice parameters and had tunnel structures filled with solvent, which were maintained after desolvation)  
RN 922190-03-2 HCAPLUS  
CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

stn



● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1298385 HCAPLUS

DOCUMENT NUMBER: 146:177451

TITLE: Delineation of the motilin domain involved in desensitization and internalization of the motilin receptor by using full and partial antagonists

AUTHOR(S): Mitselos, Anna; Depoortere, Inge; Peeters, Theo L.  
CORPORATE SOURCE: Centre for Gastroenterological Research, Catholic University of Leuven, Louvain, B-3000, Belg.

SOURCE: Biochemical Pharmacology (2007), 73(1), 115-124  
CODEN: BCPA6; ISSN: 0006-2952

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Studies with fragments of the gastrointestinal peptide, motilin, indicate that the C-terminal region of this peptide plays an important role in the desensitization of the motilin receptor (MTLR). To verify this hypothesis, we studied the desensitization, phosphorylation and internalization induced by motilin analogs of different chain length with agonistic and antagonistic properties in CHO-MTLR cells. We studied motilin [1-22], the [1-14] fragment, the analogs Phe3[1-22] and Phe3[1-14], and two putative antagonists, GM-109 and MA-2029 (modified 1-4 and 1-3 fragments). Activation and desensitization (2 h preincubation with the motilin analogs 10  $\mu$ M) were studied in CHO-MTLR cells by an aequorin based luminescence assay. Phosphorylation was studied by immunopptn. and internalization was visualized in CHO-MTLR cells containing an enhanced green fluorescent protein (CHO-MTLR-EGFP). Results showed that Motilin [1-22] and [1-14] were more potent than Phe3[1-22] and Phe3[1-14] (pEC50: 9.77, 8.78, 7.36 and 6.65, resp.) to induce Ca<sup>2+</sup> release. GM-109 and MA-2029 were without agonist activity. Motilin[1-22] and Phe3[1-22] decreased the second response to motilin from 78 $\pm$ 2% to 11 $\pm$ 3% and 34 $\pm$ 3% (P < 0.001), resp., whereas [1-14], Phe3[1-14], GM-109 and MA-2029 had no desensitizing effect (68 $\pm$ 5%, 78 $\pm$ 3%, 78 $\pm$ 6% and 78 $\pm$ 5%, resp., P > 0.05). The rank order of MTLR-phosphorylation was:

Updated Search

stn

[1-22] > [1-14] > Phe3[1-22] = Phe3[1-14] > GM-109 = MA-2029. Only motilin [1-22] and [1-14] induced receptor MTLR-EGFP internalization as shown by a decrease in membrane fluorescence: 20±3% and 7±3%, resp. Thus, the C-terminus of motilin enhances desensitization, phosphorylation and internalization of the MTLR while modifications of the N-terminus can favor a conformation of the receptor that is less susceptible to phosphorylation and internalization.

IT 922190-03-2, MA 2029

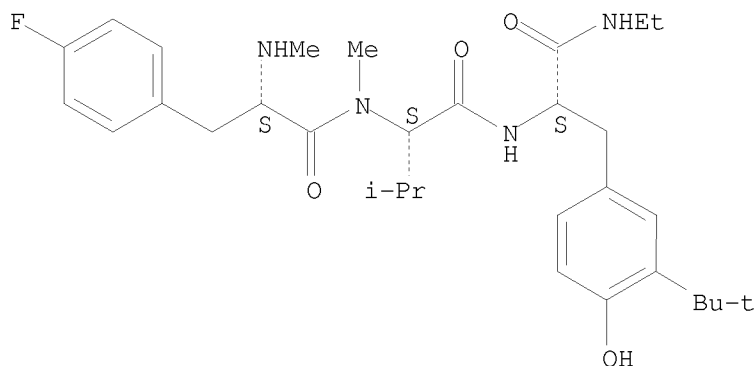
RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)

(motilin receptor antagonist; delineation of motilin domain involved in desensitization, phosphorylation and internalization of motilin receptor by using full and partial antagonists)

RN 922190-03-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold

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SESSION

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(FILE 'HOME' ENTERED AT 16:30:09 ON 26 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:30:25 ON 26 OCT 2008

L1 STRUCTURE UPLOADED  
L2 4 S L1  
L3 80 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:39:11 ON 26 OCT 2008

L4 29 S L3  
L5 1 S LL AND MATSUOKA, H?/AU  
L6 29 S L4 NOT L5  
L7 29 S L4 NOT L5  
L8 0 S L4 AND SATO, T?/AU  
L9 0 S L6 AND TAKAHASHI, T?/AU

FILE 'REGISTRY' ENTERED AT 16:42:14 ON 26 OCT 2008

L10 STRUCTURE UPLOADED  
L11 4 S L10  
L12 414 S L10 FULL

FILE 'HCAPLUS' ENTERED AT 16:45:11 ON 26 OCT 2008

L13 8 S L12  
L14 2 S L13 AND MATSUOKA, H?/AU  
L15 6 S L13 NOT L14  
L16 2 S L15 AND SATO, T?/AU  
L17 4 S L15 NOT L16  
L18 0 S L17 AND TAKAHASHI, T?/AU

Updated Search

stn

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L19      1 S L17 AND KIM, D?/AU
L20      3 S L17 NOT L19
L21      0 S L20 AND JUNG, K?/AU
L22      0 S L20 AND PARK, C?/AU
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L23      0 L12
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Updated Search